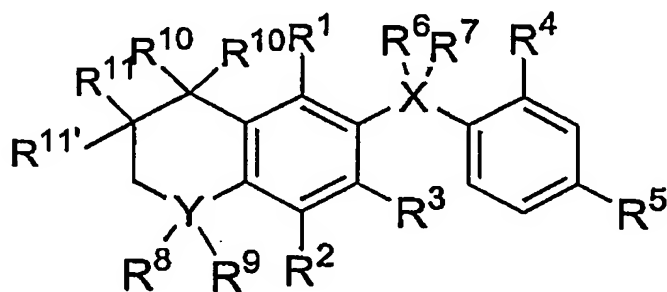


## AMENDMENTS TO THE CLAIMS:

Claims 1-14, 23-26, 45-54, 61-74, 83-92, 99-106, 112 and 113 are pending. Claims 15-22, 37-44, 55-60, 75-82, 93-98, 107-111 and 114 are cancelled herein without prejudice or disclaimer. Claims 1-3, 8-14, 23-25, 30-36, 45, 46, 49-54, 61-63, 68-74, 83-85, 87-92, 99, 100, 103-106, 112 and 113 are amended herein. This listing of claims replaces all prior versions, and listings of claims, in the application.

## LISTING OF CLAIMS:

1. (Currently amended) A compound of formula I:



or a pharmaceutically acceptable salt, ester, amide, or prodrug thereof, wherein:

R<sup>1</sup> is selected from H, a halogen, SH, and OH;

R<sup>2</sup> is selected from H, a halogen, a NR<sup>12</sup>R<sup>13</sup>, a sulfonamide, a nitro, a formyl, an acyl, a C<sub>1</sub>-C<sub>3</sub> alkyl optionally substituted with one or more fluorines, a C<sub>2</sub>-C<sub>3</sub> alkenyl optionally substituted with one or more fluorines, a C<sub>2</sub>-C<sub>3</sub> ~~alkenyl~~ alkynyl, optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>2</sub> alkoxy optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>2</sub> thioalkyl optionally substituted with one or more fluorines, a C<sub>2</sub> alkenyl optionally substituted with one or more fluorines, a C<sub>2</sub> ~~alkenyl~~ alkynyl optionally substituted with one or more fluorines, and hydroxylamine optionally substituted with a C<sub>1</sub>-C<sub>2</sub> alkyl, a C<sub>2</sub> alkenyl, a [[C<sub>2</sub>-]] C<sub>2</sub> ~~alkenyl~~ alkynyl, a C<sub>1</sub>-C<sub>2</sub> fluoroalkyl, a C<sub>2</sub> fluoroalkenyl, or a C<sub>2</sub> ~~alkenyl~~ alkynyl;

R<sup>3</sup> is selected from H, a halogen, a nitro, a C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one or more halogens, C<sub>2</sub>-C<sub>10</sub> alkenyl optionally substituted with one or more halogens, C<sub>2</sub>-C<sub>10</sub> ~~alkenyl~~ alkynyl optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>10</sub> alkoxy optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>10</sub> thioalkyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>10</sub> thioalkenyl optionally substituted with one or more halogens, C<sub>2</sub>-C<sub>10</sub> ~~thioalkenyl~~ thioalkynyl optionally substituted with one or more halogens,

[[a]] an NR<sup>14</sup>R<sup>15</sup>, and a five to six-membered carbocyclic or heterocyclic ring optionally substituted with up to two R<sup>19</sup> groups;

R<sup>4</sup> is selected from H, a halogen, and OH;

R<sup>5</sup> is selected from CH<sub>2</sub>OH, CHO, COOH, and C(R<sup>5'</sup>)(R<sup>5''</sup>)(COOH);

R<sup>5'</sup> and R<sup>5''</sup> are each independently selected from H, O, S and F; or

R<sup>5'</sup> and R<sup>5''</sup> together form an O or S;

R<sup>6</sup> and R<sup>7</sup> are each independently selected from H, a halogen, a C<sub>1</sub>-C<sub>12</sub> alkyl optionally substituted with one or more R<sup>19</sup>, a C<sub>2</sub>-C<sub>12</sub> alkenyl optionally substituted with one or more R<sup>19</sup>, a C<sub>2</sub>-C<sub>12</sub> ~~alkynyl~~ alkynyl optionally substituted with one or more R<sup>19</sup>, a C<sub>1</sub>-C<sub>12</sub> alkoxy optionally substituted with one or more R<sup>19</sup>, a C<sub>1</sub>-C<sub>12</sub> thioalkyl optionally substituted with one or more R<sup>19</sup>, a C<sub>2</sub>-C<sub>12</sub> thioalkenyl optionally substituted with one or more R<sup>19</sup>, a C<sub>2</sub>-C<sub>12</sub> ~~thioalkynyl~~ thioalkynyl optionally substituted with one or more R<sup>19</sup>, [[a]] an NR<sup>16</sup>R<sup>17</sup>, [[a]] an NHC(O)R<sup>18</sup> and null; or

R<sup>6</sup> and R<sup>7</sup> taken together form an O, S, NH or CH<sub>2</sub>;

R<sup>8</sup> and R<sup>9</sup> are each independently selected from H, a halogen, a methyl optionally substituted with one or more halogens, and null; or

R<sup>8</sup> and R<sup>9</sup> taken together with Y form a three- to five-membered optionally substituted carbocyclic ring;

each R<sup>10</sup> is independently selected from H, a halogen, and a methyl optionally substituted with one or more halogens;

R<sup>11</sup> and R<sup>11'</sup> are each independently selected from H, a halogen and OH; or

R<sup>11</sup> and R<sup>11'</sup> taken together form an O;

R<sup>12</sup> and R<sup>13</sup> are each independently a C<sub>1</sub>-C<sub>3</sub> alkyl, optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>3</sub> alkenyl optionally substituted with one or more halogens, or a C<sub>2</sub>-C<sub>3</sub> ~~alkynyl~~ alkynyl optionally substituted with one or more halogens; or

R<sup>12</sup> and R<sup>13</sup> taken together with the nitrogen atom to which they are both bound form a five- to six-membered heterocyclic ring;

R<sup>14</sup> and R<sup>15</sup> are each independently selected from a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more halogens, a C<sub>2</sub> alkenyl optionally substituted with one or more halogens, and a C<sub>2</sub> ~~alkynyl~~ alkynyl optionally substituted with one or more halogens;

R<sup>16</sup> and R<sup>17</sup> are each independently selected from a C<sub>1</sub>-C<sub>12</sub> alkyl optionally substituted with one or more R<sup>19</sup>, a C<sub>2</sub>-C<sub>12</sub> alkenyl optionally substituted with one or more R<sup>19</sup>, C<sub>2</sub>-C<sub>12</sub> ~~alkynyl~~ alkynyl optionally substituted with one or more R<sup>19</sup>, and a five- to six-membered

carbocyclic or heterocyclic ring optionally substituted with one or more R<sup>19</sup>, or R<sup>16</sup> and R<sup>17</sup> taken together with the nitrogen atom to which they are both bound form a five- to six-membered heterocyclic ring;

R<sup>18</sup> is selected from a C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>10</sub> alkenyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>10</sub> ~~alkynyl~~ alkynyl optionally substituted with one or more halogens, and a five- to six-membered carbocyclic or heterocyclic ring optionally substituted with one or more R<sup>19</sup>;

R<sup>19</sup> is selected from a halogen, a C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or more fluorines, a C<sub>2</sub>-C<sub>4</sub> alkenyl optionally substituted with one or more fluorines, a C<sub>2</sub>-C<sub>4</sub> ~~alkynyl~~ alkynyl optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>3</sub> thioalkyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>3</sub> thioalkenyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>3</sub> ~~thioalkynyl~~ thioalkynyl optionally substituted with one or more halogens, a formyl and a nitro;

X and Y are each independently selected from O, S, N and C;

wherein:

if X is O or S, then each of R<sup>6</sup> and R<sup>7</sup> is null;

if X is N, then one of R<sup>6</sup> and R<sup>7</sup> is null;

if Y is O or S, then each of R<sup>8</sup> and R<sup>9</sup> is null; and

if Y is N, then one of R<sup>8</sup> and R<sup>9</sup> is null.

2. (Currently amended) The compound of claim 1, wherein:

R<sup>1</sup> is H or a halogen;

R<sup>2</sup> is selected from H, a halogen, a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>2</sub> alkoxy optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>2</sub> thioalkyl optionally substituted with one or more fluorines, and [[a]] an NR<sup>11</sup>R<sup>12</sup>;

R<sup>3</sup> is selected from H, a halogen, a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>2</sub> alkoxy optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>2</sub> thioalkyl optionally substituted with one or more halogens, and [[a]] an NR<sup>13</sup>R<sup>14</sup>;

R<sup>4</sup> is H or a halogen;

R<sup>5</sup> is CH<sub>2</sub>OH, COOH or a C(R<sup>5'</sup>)(R<sup>5''</sup>)(COOH);

R<sup>5'</sup> and R<sup>5''</sup> are each independently selected from H and F; or R<sup>5'</sup> and R<sup>5''</sup> together form an O or S; and

R<sup>6</sup> and R<sup>7</sup> are each independently selected from H, a halogen, a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more R<sup>19</sup>, a C<sub>1</sub>-C<sub>2</sub> alkoxy optionally substituted with one or more R<sup>19</sup>, a C<sub>1</sub>-C<sub>2</sub> thioalkyl optionally substituted with one or more R<sup>19</sup>, ~~[[a]] an~~ NR<sup>16</sup>R<sup>17</sup> and ~~[[a]] an~~ NHC(O)R<sup>18</sup>; or R<sup>6</sup> and R<sup>7</sup> taken together form an O, S, NH or CH<sub>2</sub>.

3. (Currently amended) The compound of claim 2, wherein:

R<sup>10</sup> is H or halogen;

R<sup>11</sup> and R<sup>11'</sup> are each independently selected from H and a halogen; or R<sup>11</sup> and R<sup>11'</sup> taken together form an O;

R<sup>12</sup> and R<sup>13</sup> are each independently selected from a C<sub>1</sub>-C<sub>3</sub> alkyl, optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>3</sub> alkenyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>3</sub> ~~alkenyl~~ alkynyl optionally substituted with one or more halogens;

R<sup>16</sup> and R<sup>17</sup> are each independently selected from a C<sub>2</sub>-C<sub>4</sub> alkyl optionally substituted with one or more R<sup>19</sup>, a ~~five to six membered~~ five- to six-membered carbocyclic or heterocyclic ring optionally substituted with one or more R<sup>19</sup>.

4. (Original) The compound of claim 3, wherein:

X is C or N.

5. (Original) The compound of claim 3, wherein:

X is O or S.

6. (Original) The compound of any one of claims 4 and 5, wherein:

Y is C or N.

7. (Original) The compound of any one of claims 4 and 5, wherein:

Y is O or S.

8. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 1.

9. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 2.

10. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound according to claim 3.

11. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 4.

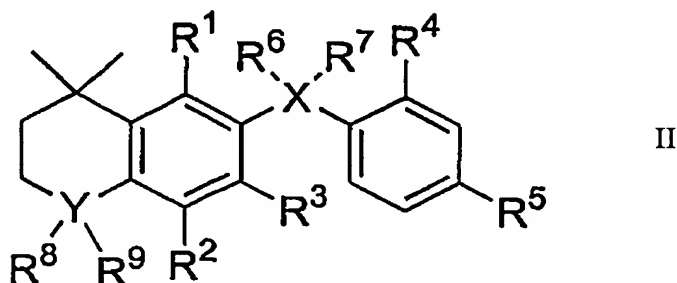
12. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 5.

13. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 6.

14. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 7.

Claims 15-22 (Cancelled).

23. (Currently amended) A compound of formula II:



or a pharmaceutically acceptable salt, ester, amide, or prodrug thereof, wherein:

R<sup>1</sup> is selected from H, a halogen, SH, and OH;

R<sup>2</sup> is selected from H, a halogen,  $[[a]]$  an NR<sup>12</sup>R<sup>13</sup>, a sulfonamide, a nitro, a formyl, an acyl optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>3</sub> alkyl optionally substituted with one or more fluorines, a C<sub>2</sub>-C<sub>3</sub> alkenyl optionally substituted with one or more fluorines, a C<sub>2</sub>-C<sub>3</sub> ~~alkynyl~~ alkynyl optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>2</sub> alkoxy optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>2</sub> thioalkyl optionally substituted with one or more fluorines, a C<sub>2</sub> thioalkenyl optionally substituted with one or more fluorines, a C<sub>2</sub> ~~alkynyl~~ alkynyl optionally substituted with one or more fluorines, and a hydroxylamine optionally substituted with a C<sub>1</sub>-C<sub>2</sub> alkyl, a C<sub>2</sub> alkenyl, a C<sub>2</sub> ~~alkynyl~~ alkynyl, a C<sub>1</sub>-C<sub>2</sub> fluoroalkyl, a C<sub>2</sub> ~~fluoroalkenyl~~ fluoroalkenyl, or a C<sub>2</sub> ~~fluoroalkynyl~~ fluoroalkynyl;

R<sup>3</sup> is selected from H, a halogen, a nitro, a C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>10</sub> alkenyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>6</sub> ~~alkynyl~~ alkynyl optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>10</sub> alkoxy optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>10</sub> thioalkyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>10</sub> thioalkenyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>10</sub> ~~thioalkynyl~~ thioalkynyl optionally substituted with one or more halogens,  $[[a]]$  an NR<sup>14</sup>R<sup>15</sup>, and five- to six-membered carbocyclic or heterocyclic ring optionally substituted with up to two R<sup>19</sup> groups;

$R^4$  is selected from H, a halogen, and OH;

$R^5$  is selected from  $CH_2OH$ , CHO, COOH, and a  $C(R^{5'}) (R^{5''}) (COOH)$ ;

$R^{5'}$  and  $R^{5''}$  are each independently selected from H, O, S and F; or  $R^{5'}$  and  $R^{5''}$  together form an O or S;

$R^6$  and  $R^7$  are each independently selected from H, a halogen, a  $C_1$ - $C_{12}$  alkyl optionally substituted with one or more  $R^{19}$ , a  $C_2$ - $C_{12}$  alkenyl optionally substituted with one or more  $R^{19}$ , a  $C_2$ - $C_{12}$  ~~alkynyl~~ alkynyl optionally substituted with one or more  $R^{19}$ , a  $C_1$ - $C_{12}$  alkoxy optionally substituted with one or more  $R^{19}$ , a  $C_1$ - $C_{12}$  thioalkyl optionally substituted with one or more  $R^{19}$ , a  $C_2$ - $C_{12}$  thioalkenyl optionally substituted with one or more  $R^{19}$ , a  $C_2$ - $C_{12}$  ~~thioalkynyl~~ thioalkynyl optionally substituted with one or more  $R^{19}$ , ~~[[a]] an~~  $NR^{16}R^{17}$ , ~~[[a]] an~~  $NHC(O)R^{18}$  and null; or  ~~$R^6$  and  $R^7$~~   $R^6$  and  $R^7$  taken together form an O, S, NH or  $CH_2$ ;

$R^8$  and  $R^9$  are each independently selected from H, a halogen, a methyl optionally substituted with one or more halogens, and null; or  $R^8$  and  $R^9$  taken together with Y form a three- to five-membered optionally substituted carbocyclic ring;

$R^{12}$  and  $R^{13}$  are each independently selected from  $C_1$ - $C_3$  optionally substituted with one or more halogens, a  $C_2$ - $C_3$  alkenyl optionally substituted with one or more halogens, or a  $C_2$ - $C_3$  ~~alkynyl~~ alkynyl optionally substituted with one or more halogens; or  $R^{12}$  and  $R^{13}$  taken together with the nitrogen atom to which they are both bound form a five- to six-membered heterocyclic ring;

$R^{14}$  and  $R^{15}$  are each independently selected from a  $C_1$ - $C_2$  alkyl optionally substituted with one or more halogens, a  $C_2$  alkenyl optionally substituted with one or more halogens, or a  $C_2$  ~~alkynyl~~ alkynyl optionally substituted with one or more halogens;

$R^{16}$  and  $R^{17}$  are each independently selected from a  $C_1$ - $C_{12}$  alkyl optionally substituted with one or more  $R^{19}$ , a  $C_2$ - $C_{12}$  alkenyl optionally substituted with one or more  $R^{19}$ , a  $C_2$ - $C_{12}$  ~~alkynyl~~ alkynyl optionally substituted with one or more  $R^{19}$ , and a five- to six-membered carbocyclic or heterocyclic ring optionally substituted with one or more  $R^{19}$ ; or  $R^{16}$  and  $R^{17}$  taken together with the nitrogen atom to which they are both bound form a five- to six-membered heterocyclic ring;

$R^{18}$  is selected from a  $C_1$ - $C_{10}$  alkyl optionally substituted with one or more halogens, a  $C_2$ - $C_{10}$  alkenyl optionally substituted with one or more halogens, or a  $C_2$ - $C_{10}$  ~~alkynyl~~ alkynyl optionally substituted with one or more halogens, and a five- to six-membered carbocyclic or heterocyclic ring optionally substituted with one or more  $R^{19}$ ;

R<sup>19</sup> is selected from a halogen, a C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or more fluorines, a C<sub>2</sub>-C<sub>4</sub> alkenyl optionally substituted with one or more fluorines, a C<sub>2</sub>-C<sub>4</sub> ~~alkenyl~~ alkynyl optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>3</sub> thioalkyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>3</sub> thioalkenyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>3</sub> ~~thioalkenyl~~, thioalkynyl optionally substituted with one or more halogens, a formyl and a nitro;

X and Y are each independently selected from O, S, N and C; wherein:

if X is O or S, then each of R<sup>6</sup> and R<sup>7</sup> is null;

if X is N, then one of R<sup>6</sup> and R<sup>7</sup> is null;

if Y is O or S, then each of R<sup>8</sup> and R<sup>9</sup> is null; and

if Y is N, then one of R<sup>8</sup> and R<sup>9</sup> is null.

24. (Currently amended) The compound of claim 23, wherein:

R<sup>1</sup> is H or halogen;

R<sup>2</sup> is selected from H, a halogen, a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>2</sub> alkoxy optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>2</sub> thioalkyl optionally substituted with one or more fluorines, and [[a]] an NR<sup>11</sup>R<sup>12</sup>;

R<sup>3</sup> is selected from H, a halogen, a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>2</sub> alkoxy optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>2</sub> thioalkyl optionally substituted with one or more halogens, and [[a]] an NR<sup>13</sup>R<sup>14</sup>;

R<sup>4</sup> is H or a halogen;

R<sup>5</sup> is CH<sub>2</sub>OH, COOH or C(R<sup>5'</sup>)(R<sup>5''</sup>)(COOH);

R<sup>5'</sup> and R<sup>5''</sup> are each independently selected from H and F; or R<sup>5'</sup> and R<sup>5''</sup> together form an O or S; and

R<sup>6</sup> and R<sup>7</sup> are each independently selected from H, a halogen, a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more R<sup>19</sup>, a C<sub>1</sub>-C<sub>2</sub> alkoxy optionally substituted with one or more R<sup>19</sup>, a C<sub>1</sub>-C<sub>2</sub> thioalkyl optionally substituted with one or more R<sup>19</sup>, [[a]] an NR<sup>16</sup>R<sup>17</sup> and [[a]] an NHC(O)R<sup>18</sup>; or R<sup>6</sup> and R<sup>7</sup> taken together form an O, S, NH or CH<sub>2</sub>.

25. (Currently amended) The compound of claim 24, wherein:

R<sup>12</sup> and R<sup>13</sup> are each independently a C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> ~~alkenyl~~ alkynyl, optionally substituted with one or more halogens;

R<sup>16</sup> and R<sup>17</sup> are each independently selected from a C<sub>2</sub>-C<sub>4</sub> alkyl optionally substituted with one or more R<sup>19</sup>, and a ~~five to six~~ five- to six-membered carbocyclic or heterocyclic ring optionally substituted with one or more R<sup>19</sup>.

26. (Original) The compound of claim 25, wherein:

X is C or N.

27. (Original) The compound of claim 25, wherein:

X is O or S.

28. (Original) The compound of any one of claims 26 and 27, wherein:

Y is C or N.

29. (Original) The compound of any one of claims 26 and 27, wherein:

Y is O or S.

30. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound according to claim 23.

31. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound according to claim 24.

32. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound according to claim 25.

33. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound according to claim 26.

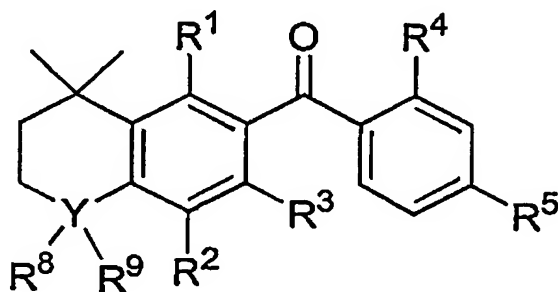
34. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound according to claim 27.

35. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound according to claim 28.

36. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound according to claim 29.

Claim 37-44 (Cancelled).

45. (Currently amended) A compound of formula III:



III

or a pharmaceutically acceptable salt, ester, amide, or prodrug thereof, wherein:

$R^1$  is selected from H, a halogen, SH, and OH;

$R^2$  is selected from H, a halogen, ~~[[a]] an~~  $NR^{12}R^{13}$ , a sulfonamide, a nitro, a formyl, an acyl optionally substituted with one or more halogens, a  $C_1$ - $C_3$  alkyl optionally substituted with one or more fluorines, a  $C_2$ - $C_3$  alkenyl optionally substituted with one or more fluorines,  $C_2$ - $C_3$  ~~alkynyl~~ alkynyl optionally substituted with one or more fluorines, a  $C_1$ - $C_2$  alkoxy optionally substituted with one or more fluorines, a  $C_1$ - $C_2$  thioalkyl optionally substituted with one or more fluorines, a  $C_2$ - $C_6$  thioalkenyl optionally substituted with one or more fluorines, a  $C_2$ - $C_6$  ~~thioalkynyl~~ thioalkynyl optionally substituted with one or more fluorines, and a hydroxylamine optionally substituted with a  $C_1$ - $C_2$  alkyl, a  $C_2$  alkenyl, a  $C_2$  ~~alkynyl~~ alkynyl, a  $C_1$ - $C_2$  fluoroalkyl, a  $C_2$  fluoroalkenyl, or ~~a  $C_2$  fluoroalkynyl~~ fluoroalkynyl;

$R^3$  is selected from H, a halogen, a nitro, a  $C_1$ - $C_{10}$  alkyl optionally substituted with one or more halogens, ~~a  $C_2$ - $C_{10}$  alkenyl~~ optionally substituted with one or more halogens, ~~a  $C_2$ - $C_{10}$  alkynyl~~ alkynyl optionally substituted with one or more halogens, a  $C_1$ - $C_{10}$  alkoxy optionally substituted with one or more halogens, ~~a  $C_1$ - $C_{10}$  thioalkyl~~ optionally substituted with one or more halogens, ~~a  $C_2$ - $C_{10}$  thioalkenyl~~ optionally substituted with one or more halogens, ~~a  $C_2$ - $C_{10}$  thioalkynyl~~ thioalkynyl optionally substituted with one or more halogens, ~~[[a]] an~~  $NR^{14}R^{15}$ , and a five- to six-membered carbocyclic or heterocyclic ring optionally substituted with up to two  $R^{19}$  groups;

$R^4$  is selected from H, a halogen, and OH;

$R^5$  is selected from  $CH_2OH$ ,  $CHO$ ,  $COOH$ , and a  $C(R^{5'})(R^{5''})(COOH)$ ;

$R^{5'}$  and  $R^{5''}$  are each independently selected from H, O, S and F; or  $R^{5'}$  and  $R^{5''}$  together form an O or S;

$R^8$  and  $R^9$  are each independently selected from H, a halogen, a methyl optionally substituted with one or more halogens, and null; or  $R^8$  and  $R^9$  taken together with Y form a three- to five-membered optionally substituted carbocyclic ring;

$R^{12}$  and  $R^{13}$  are each independently a  $C_1$ - $C_3$  alkyl optionally substituted with one or more halogens, a  $C_2$ - $C_6$  alkenyl optionally substituted with one or more halogens, or a  $C_2$ - $C_3$  ~~alkynyl~~ alkynyl optionally substituted with one or more halogens; or  $R^{12}$  and  $R^{13}$  taken together with the nitrogen atom to which they are both bound form a five- to six-membered heterocyclic ring;

R<sup>14</sup> and R<sup>15</sup> are each independently a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more halogens, a C<sub>2</sub> alkenyl optionally substituted with one or more halogens, or a C<sub>2</sub> ~~alkenyl~~ alkynyl optionally substituted with one or more halogens;

R<sup>19</sup> is selected from a halogen, a C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or more fluorines, a C<sub>2</sub>-C<sub>4</sub> alkenyl optionally substituted with one or more fluorines, a C<sub>2</sub>-C<sub>4</sub> ~~alkenyl~~ alkynyl, optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>3</sub> thioalkyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>6</sub> thioalkenyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>6</sub> ~~thioalkenyl~~ thioalkynyl optionally substituted with one or more halogens, a formyl and a nitro;

Y is selected from O, S, N and C; wherein:

if Y is O or S, then each of R<sup>8</sup> and R<sup>9</sup> is null; and

if Y is N, then one of R<sup>8</sup> and <sup>9</sup> is null.

46. (Currently amended) The compound of claim 45, wherein:

R<sup>1</sup> is H or halogen;

R<sup>2</sup> is selected from H, a halogen, a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>2</sub> alkoxy optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>2</sub> thioalkyl optionally substituted with one or more fluorines, and [[a]] an NR<sup>11</sup>R<sup>12</sup>;

R<sup>3</sup> is selected from H, a halogen, a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>2</sub> alkoxy optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>2</sub> thioalkyl optionally substituted with one or more halogens, and [[a]] an NR<sup>13</sup>R<sup>14</sup>;

R<sup>4</sup> is H or a halogen;

R<sup>5</sup> is CH<sub>2</sub>OH, COOH, or a C(R<sup>5'</sup>)(R<sup>5''</sup>)(COOH); and

R<sup>5'</sup> and R<sup>5''</sup> are each independently selected from H and F; or R<sup>5'</sup> and R<sup>5''</sup> together form an O or S.

47. (Original) The compound of claim 46, wherein:

R<sup>12</sup> and R<sup>13</sup> are each independently a C<sub>1</sub>-C<sub>3</sub> alkyl optionally substituted with one or more halogens.

48. (Original) The compound of claim 47, wherein:

Y is C or N.

49. (Currently amended) The compound of ~~claims 47~~ claim 47, wherein:

Y is O or S.

50. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 45.

51. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 46.

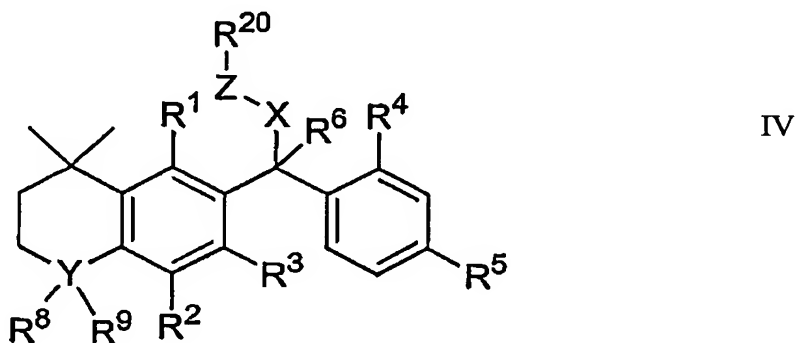
52. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 47.

53. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 48.

54. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 49.

Claims 55-60 (Cancelled).

61. (Currently amended) A compound of formula IV:



or a pharmaceutically acceptable salt, ester, amide, or prodrug thereof, wherein:

R<sup>1</sup> is selected from H, a halogen, SH, and OH;

R<sup>2</sup> is selected from H, a halogen, [[a]] an NR<sup>12</sup>R<sup>13</sup>, a sulfonamide, a nitro, a formyl, an acyl, a C<sub>1</sub>-C<sub>3</sub> alkyl optionally substituted with one or more fluorines, a C<sub>2</sub>-C<sub>3</sub> alkenyl optionally substituted with one or more fluorines, a C<sub>2</sub>-C<sub>3</sub> ~~alkenyl~~ alkynyl optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>2</sub> alkoxy optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>2</sub> thioalkyl optionally substituted with one or more fluorines, a C<sub>2</sub> thioalkenyl optionally substituted with one or more fluorines, a C<sub>2</sub> ~~thioalkenyl~~ thioalkynyl optionally substituted with one or more fluorines, and a hydroxylamine optionally substituted with a C<sub>1</sub>-C<sub>2</sub> alkyl, a C<sub>2</sub> alkenyl, a C<sub>2</sub> ~~alkenyl~~ alkynyl, a C<sub>1</sub>-C<sub>2</sub> fluoroalkyl, a C<sub>2</sub> fluoroalkenyl, or a C<sub>2</sub> ~~fluoroalkenyl~~ fluoroalkynyl;

R<sup>3</sup> is selected from H, a halogen, a nitro, a C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>10</sub> alkenyl optionally substituted with one or more halogens, a

C<sub>2</sub>-C<sub>10</sub> ~~alkenyl~~ alkynyl optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>10</sub> alkoxy optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>10</sub> thioalkyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>10</sub> thioalkenyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>10</sub> ~~thioalkenyl~~ thioalkynyl optionally substituted with one or more halogens,  $[[a]]$  an NR<sup>14</sup>R<sup>15</sup>, and a five- to six-membered carbocyclic or heterocyclic ring optionally substituted with up to two R<sup>19</sup> groups;

R<sup>4</sup> is selected from H, a halogen, and OH;

R<sup>5</sup> is selected from CH<sub>2</sub>OH, CHO, COOH, and a C(R<sup>5'</sup>)(R<sup>5''</sup>)(COOH);

R<sup>5'</sup> and R<sup>5''</sup> are each independently selected from H, O, S and F; or R<sup>5'</sup> and R<sup>5''</sup> together form an O or S;

R<sup>6</sup> is selected from H, a halogen, a C<sub>1</sub>-C<sub>12</sub> alkyl optionally substituted with one or more R<sup>19</sup>, a C<sub>2</sub>-C<sub>12</sub> alkenyl optionally substituted with one or more R<sup>19</sup>, a C<sub>2</sub>-C<sub>12</sub> ~~alkenyl~~ alkynyl optionally substituted with one or more R<sup>19</sup>, a C<sub>1</sub>-C<sub>12</sub> alkoxy optionally substituted with one or more R<sup>19</sup>, a C<sub>1</sub>-C<sub>12</sub> thioalkyl optionally substituted with one or more R<sup>19</sup>, a C<sub>2</sub>-C<sub>12</sub> thioalkenyl optionally substituted with one or more R<sup>19</sup>, a C<sub>2</sub>-C<sub>12</sub> ~~thioalkenyl~~ thioalkynyl optionally substituted with one or more R<sup>19</sup>,  $[[a]]$  an NR<sup>16</sup>R<sup>17</sup>,  $[[a]]$  an NHC(O)R<sup>18</sup> and null;

R<sup>8</sup> and R<sup>9</sup> are each independently selected from H, a halogen, a methyl optionally substituted with one or more halogens, and null; or R<sup>8</sup> and R<sup>9</sup> taken together with Y form a three- to five-membered optionally substituted carbocyclic ring;

R<sup>12</sup> and R<sup>13</sup> are each independently a C<sub>1</sub>-C<sub>3</sub> alkyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>3</sub> alkenyl optionally substituted with one or more halogens, or a C<sub>2</sub>-C<sub>3</sub> ~~alkenyl~~ alkynyl optionally substituted with one or more halogens; or R<sup>12</sup> and R<sup>13</sup> taken together with the nitrogen atom to which they are both bound form a five- to six-membered heterocyclic ring;

R<sup>14</sup> and R<sup>15</sup> are each independently a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more halogens, a C<sub>2</sub> alkenyl optionally substituted with one or more halogens, or a C<sub>2</sub> ~~alkenyl~~ alkynyl optionally substituted with one or more halogens;

R<sup>16</sup> and R<sup>17</sup> are each independently selected from a C<sub>1</sub>-C<sub>12</sub> alkyl optionally substituted with one or more R<sup>19</sup>, a C<sub>2</sub>-C<sub>12</sub> alkenyl optionally substituted with one or more R<sup>19</sup>, or a C<sub>2</sub>-C<sub>12</sub> ~~alkenyl~~ alkynyl optionally substituted with one or more R<sup>19</sup>; and a five- to six-membered carbocyclic or heterocyclic ring, optionally substituted with one or more R<sup>19</sup>; or R<sup>16</sup> and R<sup>17</sup> taken together with the nitrogen atom to which they are both bound form a five- to six-membered heterocyclic ring;

R<sup>18</sup> is selected from a C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>10</sub> alkenyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>6</sub> ~~alkynyl~~ alkynyl optionally substituted with one or more halogens, and a five- to six-membered carbocyclic or heterocyclic ring optionally substituted with one or more R<sup>19</sup>;

R<sup>19</sup> is selected from a halogen, a C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or more fluorines, a C<sub>2</sub>-C<sub>4</sub> alkenyl optionally substituted with one or more fluorines, a C<sub>2</sub>-C<sub>4</sub> ~~alkynyl~~ alkynyl optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>3</sub> thioalkyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>3</sub> thioalkenyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>3</sub> ~~thioalkynyl~~ thioalkynyl optionally substituted with one or more halogens, a formyl and a nitro;

R<sup>20</sup> is selected from a C<sub>4</sub>-C<sub>5</sub> alkyl optionally substituted with one or more halogens, a C<sub>4</sub>-C<sub>5</sub> alkenyl optionally substituted with one or more halogens, a C<sub>4</sub>-C<sub>5</sub> ~~alkynyl~~ alkynyl optionally substituted with one or more halogens, a phenyl optionally substituted with one or more fluorines, a thienyl optionally substituted with one or more fluorines, and a benzyl optionally substituted with one or more R<sup>19</sup>;

X is selected from O and NH;

Y is selected from O, S, N, and C; and

Z is selected from CH<sub>2</sub>, NH, and phenylene;

wherein:

if Y is O or S, then each of R<sup>8</sup> and R<sup>9</sup> is null; and

if Y is N, then one of R<sup>8</sup> and R<sup>9</sup> is null.

62. (Currently amended) The compound of claim 61, wherein:

R<sup>1</sup> is H or halogen;

R<sup>2</sup> is selected from H, a halogen, a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>2</sub> alkoxy optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>2</sub> thioalkyl optionally substituted with one or more fluorines, and [[a]] an NR<sup>11</sup>R<sup>12</sup>;

R<sup>3</sup> is selected from H, a halogen, a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>2</sub> alkoxy optionally substituted with one or more halogens, a fully saturated C<sub>1</sub>-C<sub>2</sub> thioalkyl optionally substituted with one or more halogens, and [[a]] an NR<sup>13</sup>R<sup>14</sup>;

R<sup>4</sup> is H or a halogen;

R<sup>5</sup> is CH<sub>2</sub>OH, COOH or a C(R<sup>5'</sup>)(R<sup>5''</sup>)(COOH);

R<sup>5'</sup> and R<sup>5''</sup> are each independently selected from H and F; or R<sup>5'</sup> and R<sup>5''</sup> together form an O or S; and

R<sup>6</sup> is selected from H, a halogen, a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more R<sup>19</sup>, a C<sub>1</sub>-C<sub>2</sub> alkoxy optionally substituted with one or more R<sup>19</sup>, a C<sub>1</sub>-C<sub>2</sub> thioalkyl optionally substituted with one or more R<sup>19</sup>, [[a]] an NR<sup>16</sup>R<sup>17</sup>, and [[a]] an NHC(O)R<sup>18</sup>.

63. (Currently amended) The compound of claim 62, wherein:

R<sup>12</sup> and R<sup>13</sup> are each independently a C<sub>1</sub>-C<sub>3</sub> alkyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>3</sub> alkenyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>3</sub> ~~alkynyl~~ alkynyl optionally substituted with one or more halogens;

R<sup>16</sup> and R<sup>17</sup> are each independently selected from a C<sub>2</sub>-C<sub>4</sub> alkyl optionally substituted with one or more R<sup>19</sup>, a ~~five to six~~ five- to six-membered carbocyclic or heterocyclic ring optionally substituted with one or more R<sup>19</sup>.

64. (Original) The compound of claim 63, wherein:

X is O.

65. (Original) The compound of claim 63, wherein:

X is NH.

66. (Original) The compound of any one of claims 64 and 65, wherein:

Y is C or N.

67. (Original) The compound of any one of claims 64 and 65, wherein:

Y is O or S.

68. (Currently amended) A pharmaceutical agent<sub>1</sub> comprising a pharmaceutically acceptable carrier and a compound of claim 61.

69. (Currently amended) A pharmaceutical agent<sub>1</sub> comprising a pharmaceutically acceptable carrier and a compound of claim 62.

70. (Currently amended) A pharmaceutical agent<sub>1</sub> comprising a pharmaceutically acceptable carrier and a compound of claim 63.

71. (Currently amended) A pharmaceutical agent<sub>1</sub> comprising a pharmaceutically acceptable carrier and a compound of claim 64.

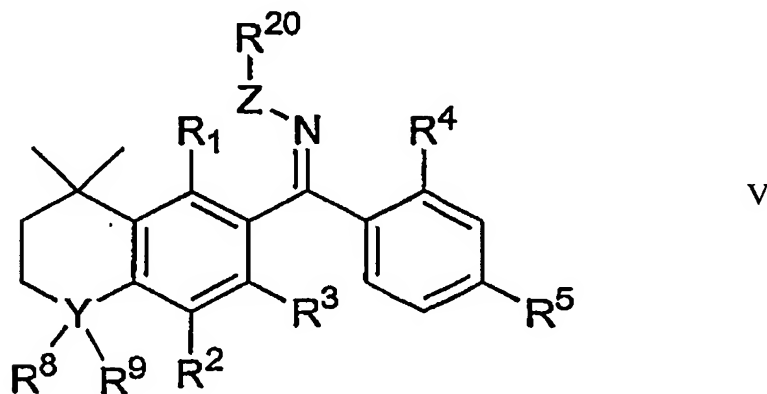
72. (Currently amended) A pharmaceutical agent<sub>1</sub> comprising a pharmaceutically acceptable carrier and a compound of claim 65.

73. (Currently amended) A pharmaceutical agent<sub>1</sub> comprising a pharmaceutically acceptable carrier and a compound of claim 66.

74. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 67.

Claim 75-82 (Cancelled).

83. (Currently amended) A compound of formula V:



or a pharmaceutically acceptable salt, ester, amide, or prodrug thereof, wherein:

$R^1$  is selected from H, a halogen, SH, and OH;

$R^2$  is selected from H, a halogen,  $[[a]]$  an  $NR^{12}R^{13}$ , a sulfonamide, a nitro, a formyl, an acyl, a  $C_1$ - $C_3$  alkyl optionally substituted with one or more fluorines, a  $C_2$ - $C_3$  alkenyl optionally substituted with one or more fluorines, a  $C_2$ - $C_3$  ~~alkynyl~~ alkynyl optionally substituted with one or more fluorines, a  $C_1$ - $C_2$  alkoxy optionally substituted with one or more fluorines, a  $C_1$ - $C_2$  thioalkyl optionally substituted with one or more fluorines, a  $C_2$  thioalkenyl optionally substituted with one or more fluorines, a  $C_2$  ~~thioalkynyl~~ thioalkynyl optionally substituted with one or more fluorines, and a hydroxylamine optionally substituted with a  $C_1$ - $C_2$  alkyl, a  $C_2$  alkenyl, a  $C_2$  ~~alkynyl~~ alkynyl, a  $C_1$ - $C_2$  fluoroalkyl, a  $C_2$  fluoroalkenyl, or a  $C_2$  ~~fluoroalkynyl~~ fluoroalkynyl;

$R^3$  is selected from H, a halogen, a nitro, a  $C_1$ - $C_{10}$  alkyl optionally substituted with one or more halogens, a  $C_2$ - $C_{10}$  alkenyl optionally substituted with one or more halogens, a  $C_2$ - $C_{10}$  ~~alkynyl~~ alkynyl optionally substituted with one or more halogens, a  $C_1$ - $C_{10}$  alkoxy optionally substituted with one or more halogens, a  $C_1$ - $C_{10}$  thioalkyl optionally substituted with one or more halogens, a  $C_2$ - $C_{10}$  alkenyl optionally substituted with one or more halogens, a  $C_2$ - $C_{10}$  ~~alkynyl~~ alkynyl optionally substituted with one or more halogens,  $[[a]]$  an  $NR^{14}R^{15}$ , and a five- to six-membered carbocyclic or heterocyclic ring optionally substituted with up to two  $R^{19}$  groups;

R<sup>4</sup> is selected from H, a halogen, and OH;

R<sup>5</sup> is selected from CH<sub>2</sub>OH, CHO, COOH, and a C(R<sup>5'</sup>)(R<sup>5''</sup>)(COOH);

R<sup>5'</sup> and R<sup>5''</sup> are each independently selected from H, O, S and F; or R<sup>5'</sup> and R<sup>5''</sup> together form an O or S;

R<sup>8</sup> and R<sup>9</sup> are each independently selected from H, a halogen, a methyl optionally substituted with one or more halogens, and null; or R<sup>8</sup> and R<sup>9</sup> taken together with Y form a three- to five-membered optionally substituted carbocyclic ring;

R<sup>12</sup> and R<sup>13</sup> are each independently selected from a C<sub>1</sub>-C<sub>3</sub> alkyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>3</sub> alkenyl optionally substituted with one or more halogens, or a C<sub>2</sub>-C<sub>3</sub> ~~alkenyl~~ alkynyl optionally substituted with one or more halogens; or R<sup>12</sup> and R<sup>13</sup> taken together with the nitrogen atom to which they are both bound form a five- to six-membered heterocyclic ring;

R<sup>14</sup> and R<sup>15</sup> are each independently selected from a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more halogens, a C<sub>2</sub> alkenyl optionally substituted with one or more halogens, or a C<sub>2</sub> ~~alkenyl~~ alkynyl optionally substituted with one or more halogens;

R<sup>19</sup> is selected from a halogen, a C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or more fluorines, a C<sub>2</sub>-C<sub>4</sub> alkenyl optionally substituted with one or more fluorines, or a C<sub>2</sub>-C<sub>4</sub> ~~alkenyl~~ alkynyl optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>3</sub> thioalkyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>3</sub> thioalkenyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>3</sub> ~~thioalkenyl~~ thioalkynyl, optionally substituted with one or more halogens, a formyl and a nitro;

R<sup>20</sup> is selected from a C<sub>4</sub>-C<sub>5</sub> alkyl optionally substituted with one or more halogens, a C<sub>4</sub>-C<sub>5</sub> alkenyl optionally substituted with one or more halogens, a C<sub>4</sub>-C<sub>5</sub> ~~alkenyl~~ alkynyl optionally substituted with one or more ~~halogens~~ ~~optionally substituted with one or more~~ halogens, a phenyl optionally substituted with one or more fluorines, a thienyl optionally substituted with one or more fluorines, and a benzyl optionally substituted with one or more R<sup>19</sup>;

Y is selected from O, S, N, and C; and

Z is selected from CH<sub>2</sub>, NH, and phenylene;

wherein:

if Y is O or S, then each of R<sup>8</sup> and R<sup>9</sup> is null; and

if Y is N, then one of R<sup>8</sup> and R<sup>9</sup> is null.

84. (Currently amended) The compound of claim 83, wherein:

R<sup>1</sup> is H or halogen;

R<sup>2</sup> is selected from H, a halogen, a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>2</sub> alkoxy optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>2</sub> thioalkyl optionally substituted with one or more fluorines, and [[a]] an NR<sup>11</sup>R<sup>12</sup>;

R<sup>3</sup> is selected from H, a halogen, a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>2</sub> alkoxy optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>2</sub> thioalkyl optionally substituted with one or more halogens, and [[a]] an NR<sup>13</sup>R<sup>14</sup>;

R<sup>4</sup> is H or a halogen;

R<sup>5</sup> is CH<sub>2</sub>OH, COOH or a C(R<sup>5'</sup>)(R<sup>5''</sup>)(COOH); and

R<sup>5'</sup> and R<sup>5''</sup> are each independently selected from H and F; or R<sup>5'</sup> and R<sup>5''</sup> together form an O or S.

85. (Currently amended) The compound of claim 84, wherein:

R<sup>12</sup> and R<sup>13</sup> are each independently selected from a C<sub>1</sub>-C<sub>3</sub> alkyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>3</sub> alkenyl optionally substituted with one or more halogens, or a C<sub>2</sub>-C<sub>3</sub> ~~alkenyl~~ alkynyl optionally substituted with one or more ~~halogens~~; halogens.

86. (Original) The compound of claim 85, wherein:

Y is C or N.

87. (Currently amended) The compound of claim 85, wherein:

Y is O or S.

88. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 83.

89. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 84.

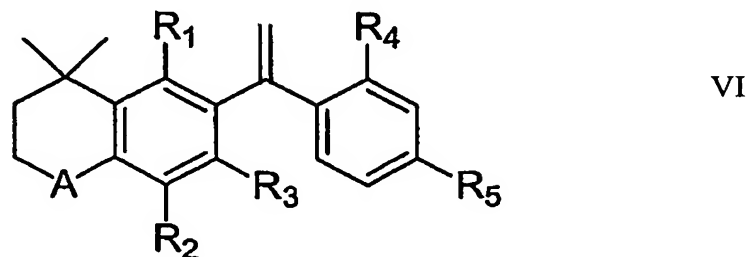
90. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 85.

91. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 86.

92. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 87.

Claims 93-98 (Cancelled).

99. (Currently amended) A compound of formula VI:



or a pharmaceutically acceptable salt, ester, amide, or prodrug thereof,  
wherein:

$R^1$  is selected from H, a halogen, SH, and OH;

$R^2$  is selected from H, a halogen,  $[[a]]$  an  $NR^{12}R^{13}$ , a sulfonamide, a nitro, a formyl, an acyl optionally substituted with one or more halogens, a  $C_1$ - $C_3$  alkyl optionally substituted with one or more fluorines, a  $C_2$ - $C_3$  alkenyl optionally substituted with one or more fluorines, a  $C_2$ - $C_3$  ~~alkynyl~~ alkynyl optionally substituted with one or more fluorines, a  $C_1$ - $C_2$  alkoxy optionally substituted with one or more fluorines, a  $C_1$ - $C_2$  thioalkyl optionally substituted with one or more fluorines, a  $C_2$  thioalkenyl optionally substituted with one or more fluorines, a  $C_2$  ~~thioalkynyl~~ thioalkynyl optionally substituted with one or more fluorines, and a hydroxylamine optionally substituted with a  $C_1$ - $C_2$  alkyl, a  $C_2$  alkenyl, a  $C_2$  ~~alkynyl~~ alkynyl, a  $C_1$ - $C_2$  fluoroalkyl, a  $C_2$  fluoroalkenyl, or a  $C_2$  ~~fluoroalkynyl~~, fluoroalkynyl;

$R^3$  is selected from H, a halogen, a nitro, a  $C_1$ - $C_{10}$  alkyl optionally substituted with one or more halogens, a  $C_2$ - $C_{10}$  alkenyl optionally substituted with one or more halogens, a  $C_2$ - $C_{10}$  ~~alkynyl~~, alkynyl optionally substituted with one or more halogens, a  $C_1$ - $C_{10}$  alkoxy optionally substituted with one or more halogens, a  $C_1$ - $C_{10}$  thioalkyl optionally substituted with one or more halogens, a  $C_2$ - $C_{10}$  thioalkenyl optionally substituted with one or more halogens, a  $C_2$ - $C_{10}$  ~~thioalkynyl~~ thioalkynyl optionally substituted with one or more halogens,  $[[a]]$  an  $NR^{14}R^{15}$ , and a five- to six-membered carbocyclic or heterocyclic ring optionally substituted with up to two  $R^{19}$  groups;

$R^4$  is selected from H, a halogen, and OH;

$R^5$  is selected from  $CH_2OH$ ,  $CHO$ ,  $COOH$ , and a  $C(R^{5'})(R^{5''})(COOH)$ ;

$R^{5'}$  and  $R^{5''}$  are each independently selected from H, O, S and F; or  $R^{5'}$  and  $R^{5''}$  together form an O or S;

$R^{12}$  and  $R^{13}$  are each independently selected from a  $C_1$ - $C_3$  alkyl optionally substituted with one or more halogens, a  $C_2$ - $C_3$  alkenyl optionally substituted with one or more halogens,

or a C<sub>2</sub>-C<sub>3</sub> ~~alkynyl~~ alkynyl optionally substituted with one or more halogens; or R<sup>12</sup> and R<sup>13</sup> taken together with the nitrogen atom to which they are both bound form a five- to six-membered heterocyclic ring;

R<sup>14</sup> and R<sup>15</sup> are each independently selected from a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more halogens, a C<sub>2</sub> alkenyl optionally substituted with one or more halogens, or a C<sub>2</sub> ~~alkynyl~~, alkynyl optionally substituted with one or more halogens;

R<sup>19</sup> is selected from a halogen, a C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or more fluorines, a C<sub>2</sub>-C<sub>4</sub> alkenyl optionally substituted with one or more fluorines, a C<sub>2</sub>-C<sub>4</sub> ~~alkynyl~~ alkynyl optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>3</sub> thioalkyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>3</sub> thioalkenyl optionally substituted with one or more halogens, a C<sub>2</sub>-C<sub>3</sub> ~~thioalkynyl~~ thioalkynyl optionally substituted with one or more halogens, a formyl and a nitro; and

A is selected from O, CH<sub>2</sub>, CF<sub>2</sub>, and S.

100. (Currently amended) The compound of claim 99, wherein:

R<sup>1</sup> is H or halogen;

R<sup>2</sup> is selected from H, a halogen, a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>2</sub> alkoxy optionally substituted with one or more fluorines, a C<sub>1</sub>-C<sub>2</sub> thioalkyl optionally substituted with one or more fluorines, and [[a]] an NR<sup>11</sup>R<sup>12</sup>;

R<sup>3</sup> is selected from H, a halogen, a C<sub>1</sub>-C<sub>2</sub> alkyl optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>2</sub> alkoxy optionally substituted with one or more halogens, a C<sub>1</sub>-C<sub>2</sub> thioalkyl optionally substituted with one or more halogens, and [[a]] an NR<sup>13</sup>R<sup>14</sup>;

R<sup>4</sup> is H or a halogen;

R<sup>5</sup> is CH<sub>2</sub>OH, COOH or a C(R<sup>5'</sup>)(R<sup>5''</sup>)(COOH);

R<sup>5'</sup> and R<sup>5''</sup> are each independently selected from H and F; or R<sup>5'</sup> and R<sup>5''</sup> together form an O or S; ~~and~~ S.

101. (Original) The compound of claim 100, wherein:

A is O or CH<sub>2</sub>.

102. (Original) The compound of claim 100, wherein:

A is CF<sub>2</sub> or S.

103. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 99.

104. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 100.

105. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 101.

106. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically acceptable carrier and a compound of claim 102.

Claim 107-111 (Cancelled).

112. (Currently amended) A compound selected from the group consisting of:  
4-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethoxy-2-naphthalenyl]benzoyl benzoic acid (Compound 103);

4-[5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-1-hydroxy-2-naphthalenyl]benzoyl benzoic acid (Compound 104);

4-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-(1,1,1-trifluoroethoxy)-2-naphthalenyl]benzoyl benzoic acid (Compound 105);

4-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-propoxy-2-naphthalenyl] benzoyl benzoic acid (Compound 106);

4-[5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-4-nitro-2-naphthalenyl]benzoyl benzoic acid (Compound 108);

4-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethoxy-4-nitro-2-naphthalenyl] benzoyl benzoic acid (Compound 109);

4-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-(2,2-difluoroethoxy)-4-nitro-2-naphthalenyl] benzoyl benzoic acid (Compound 110);

4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-methoxy-2-naphthalenyl) methyl] benzoic acid (Compound 117);

4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-*iso*-propoxy-2-naphthalenyl) methyl] benzoic acid (Compound 118);

4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethoxy-2-naphthalenyl) methyl] benzoic acid (Compound 119);

4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-(1,1,1-trifluoroethoxy) ~~trifluoroethoxy~~ trifluoroethoxy)-2-naphthalenyl) methyl] benzoic acid (Compound 120);

4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-propoxy-2-naphthalenyl) methyl] benzoic acid (Compound 121);

4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-butoxy-2-naphthalenyl) methyl] benzoic acid (Compound 122);

4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-heptoxy-2-naphthalenyl) methyl] benzoic acid (Compound 123);

4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-methyl-4-*p*-tolenesulfonamideo-2-naphthalenyl) methyl] benzoic acid (Compound 124);

4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-methyl-4-ethylamino-2-naphthalenyl) methyl] benzoic acid (Compound 125);

4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-methyl-4-propylamino-2-naphthalenyl) methyl] benzoic acid (Compound 126);

4-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-(2-fluorophenyl)-2-naphthalenyl] benzoyl benzoic acid (Compound 128);

4-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-phenyl-2-naphthalenyl] benzoyl benzoic acid (Compound 129);

4-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-(3-thienyl)-2-naphthalenyl] benzoyl benzoic acid (Compound 130);

4-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-(4-fluorophenyl)-2-naphthalenyl] benzoyl benzoic acid (Compound 131) ;

4-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-(3-nitrophenyl)-2-naphthalenyl] benzoyl benzoic acid (Compound 132);

4-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-(*N*-methyl-*N*-ethylamino)-2-naphthalenyl] benzoyl benzoic acid (Compound 134);

4-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-(2-fluorophenyl)-4-nitro-2-naphthalenyl] benzoyl benzoic acid (Compound 136);

4-[(5,6,7,8-tetrahydro-3,8,8-trimethyl-4-nitro-2-naphthalenyl) benzoyl] benzoic acid (Compound 137);

4-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-1-fluoro-3-ethoxy-2-naphthalenyl] benzoyl benzoic acid (Compound 141);

4-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-1-fluoro-3-ethoxy-4-nitro-2-naphthalenyl] benzoyl benzoic acid (Compound 142);

4-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethoxy-2-naphthalenyl] benzoyl-3-chlorobenzoic acid (Compound 144);

- 4-[(5,6,7,8-tetrahydro-3,5,5,8,8-~~pentamethyl~~ ~~tetramethyl~~-2-naphthalenyl)(2-fluorobenzyloxy) methyl] benzoic acid (Compound 149);
- 4-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)(4-fluorobenzyloxy) methyl] benzoic acid (Compound 150);
- 4-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)(2-~~trifluoromethoxy~~ ~~thi~~~~fluoromethoxy~~ benzyloxy) methyl] benzoic acid (Compound 151);
- 4-[(5,6,7,8-tetrahydro-3,5,5,8,8-~~pentamethyl~~ ~~tetramethyl~~-2-naphthalenyl)(2,3-difluorobenzyloxy) methyl] benzoic acid (Compound 152);
- 4-[(5,6,7,8-tetrahydro-3,5,5,8,8-~~pentamethyl~~ ~~tetramethyl~~-2-naphthalenyl)(4-trifluoromethyl benzyloxy) methyl] benzoic acid (Compound 153);
- 4-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)(4-trifluoromethoxy benzyloxy) methyl] benzoic acid (Compound 154);
- 4-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)(4-trifluorothiomethoxy benzyloxy) methyl] benzoic acid (Compound 155);
- 4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethoxy-2-naphthalenyl)(2,3-difluoro benzyloxy) methyl] benzoic acid (Compound 156);
- 4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethoxy-2-naphthalenyl)(4-fluorobenzyloxy) methyl] benzoic acid (Compound 157);
- 4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethoxy-2-naphthalenyl)(2-fluorobenzyloxy) methyl] benzoic acid (Compound 158);
- 4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethoxy-2-naphthalenyl)(benzyloxy) methyl] benzoic acid (Compound 159);
- 4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethoxy-2-naphthalenyl)(butyloxy) methyl] benzoic acid (Compound 160);
- 4-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)(phenylacetamido) methyl] benzoic acid (Compound 162);
- 4-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)(3-fluorobenzylamino) methyl] benzoic acid (Compound 163);
- 4-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)(4-fluorobenzylamino) methyl] benzoic acid (Compound 164);
- 4-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)(benzylamino) methyl] benzoic acid (Compound 165);

4-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)(4-trifluoromethyl phenoxy)methyl]benzoic acid (Compound 166);

4-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)(4-*tert*-butylbenzylthio methyl] benzoic acid (Compound 167);

4-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)(4-fluorophenyloxy methyl]benzoic acid (Compound 168);

4-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)(4-*tert*-butylphenyloxy methyl]benzoic acid (Compound 169);

4-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)(4- phenylphenyloxy methyl]benzoic acid (Compound 170);

4-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)(4-phenoxy) methyl] benzoic acid (Compound 171);

4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethoxy-2-naphthalenyl)(4-*tert*-butylbenzylthio methyl] benzoic acid (Compound 172);

4-[(phenylhydrazino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)] benzoic acid (Compound 173);

4-[(phenylhydrazino)(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)] benzoic acid (Compound 174);

4-[(phenylhydrazino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-4-ethoxy-2-naphthalenyl)] benzoic acid (Compound 175);

4-[(Pyridine-2-hydrazonyl)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethyloxy-2-naphthalenyl)]benzoyl benzoic acid (Compound 176);

4-[(2,4-difluorophenylhydrazino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-4- ethoxy-2-naphthalenyl)] benzoic acid (Compound 177);

4-[(2,5-difluorophenylhydrazino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-4- ethoxy-2-naphthalenyl)] benzoic acid (Compound 178);

4-[(2,5-dimethylphenylhydrazino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-4- ethoxy-2-naphthalenyl)] benzoic acid (Compound 179);

4-[(2-fluorophenylhydrazino)(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)] benzoic acid (Compound 180);

4-[(phenylimino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-4-ethoxy-2- naphthalenyl)] benzoic acid (Compound 183);

4-[(4,4,4-trifluorobutoximino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethyloxy-2-naphthalenyl)] benzoic acid (Compound 184);

4-[(ethoxyimino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethyloxy-2-naphthalenyl)] benzoic acid (Compound 185);

4-[(propoxyimino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethyloxy-2-naphthalenyl)] benzoic acid (Compound 186);

4-[(butoxyimino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethyloxy-2-naphthalenyl)] benzoic acid (Compound 187);

4-[(pentoxyimino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethyloxy-2-naphthalenyl)] benzoic acid (Compound 188);

4-[(hexyloxyimino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethyloxy-2-naphthalenyl)] benzoic acid (Compound 189);

4-[(3-methyl-butoxyimino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethyloxy-2-naphthalenyl)] benzoic acid (Compound 190);

4-[(decyloxyimino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethyloxy-2-naphthalenyl)] benzoic acid (Compound 191);

4-[(2,3-difluorobenzoyloxyimino)(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethyloxy-2-naphthalenyl)] benzoic acid (Compound 192);

6-(2,3-dihydro-4,4-dimethyl-7-ethoxybenzopyranyl)benzoyl benzoic acid (Compound 201);

6-[(2,3-dihydro-4,4-dimethyl-7-ethoxybenzothiopyranyl)]benzoyl benzoic acid (Compound 202);

6-(2,3-dihydro-4,4,7-trimethyl-8-nitro-benzopyranyl)benzoyl benzoic acid (Compound 203);

7-[1,4,4-trimethyl-5-methyl-6-methoxy-1,2,3,4-tetrahydroquinoline] benzoyl benzoic acid (Compound 212);

7-[1,4,4-trimethyl-5-methyl-6-ethoxy-1,2,3,4-tetrahydroquinoline] benzoyl benzoic acid (Compound 213);

4-[(5,6,7,8-tetrahydro-3,8,8-trimethyl-2-naphthalenyl) ethenyl] benzoic acid (Compound 214);

2-Oxo-2-[4-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-ethyloxy-2-naphthalenyl]phenyl]acetic acid (compound 217); and

Applicant : MICHELLYS *et al.*  
Serial No. : To Be Assigned  
Filed : Herewith

Attorney's Docket No.: 18202-022US1 / 1096US  
**Preliminary Amendment**

2-Oxo-2-[4-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-methyl-2-naphthalenyl] phenyl]  
acetic acid (compound 218);

and pharmaceutically acceptable salts, esters, amides, and prodrugs thereof.

113. (Currently amended) A pharmaceutical agent, comprising a pharmaceutically  
acceptable carrier and a compound of claim 112.

Claim 114 (Cancelled).